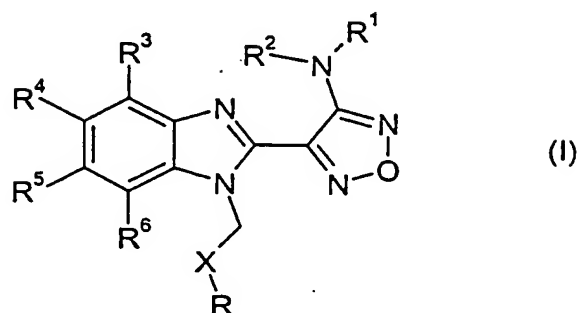


Claims

1. A compound of formula (I)



wherein

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R represents aryl or heteroaryl optionally substituted by up to four substituents independently selected from

alkyl, cycloalkyl, cycloalkyl-lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, acyloxy-lower alkyl, heterocyclyl, heterocyclyl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, lower alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, cycloalkoxy, halo-lower alkoxy, cycloalkyl-lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, heterocycliloxy, heterocyclyl-lower alkoxy, optionally substituted phenoxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy, sulfamoyloxy, carbamoyloxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, aminocarbonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylcarbonylamino wherein heterocyclyl is bound via a nitrogen atom, aminosulfonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylsulfonylamino wherein heterocyclyl is bound via a nitrogen atom, lower alkoxy carbonylamino, lower alkylcarbonylamino wherein alkyl is optionally substituted by one or two substituents selected from optionally substituted phenyl, guanidyl, halogen, cyano, alkoxy, optionally substituted phenoxy, alkylmercapto and optionally substituted amino; lower alkenylcarbonylamino wherein

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alkenyl is optionally substituted by one or two substituents selected from lower alkyl, halo-lower alkyl, optionally substituted phenyl, halogen, cyano, alkoxy and optionally substituted amino; amino-lower alkyl or amino-lower alkylamino, wherein the nitrogen atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocycl, lower alkylcarbonyl, formyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclcarbonyl, carboxy, lower alkoxy carbonyl, hydroxy-lower alkoxy carbonyl, lower alkoxy-lower alkoxy carbonyl, optionally substituted phenyl-lower alkoxy carbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, and nitro; and wherein two adjacent substituents together with the atoms of aryl or heteroaryl may form a 5 or 6 membered carbocyclic or heterocyclic ring;

X represents oxygen; a group C=Y, wherein Y stands for oxygen or nitrogen substituted by hydroxy or alkoxy; or a group -CO-CH=CH- wherein the C=C bond is connected to R;

R<sup>1</sup> and R<sup>2</sup>, independently of each other, represent hydrogen, alkyl, cycloalkyl, cycloalkyl-alkyl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, hydroxyalkyl, alkoxyalkyl, hydroxyalkoxyalkyl, alkoxyalkoxyalkyl, cyanoalkyl, optionally substituted alkenyl, optionally substituted alkynyl, or lower alkylcarbonyl wherein lower alkyl is optionally substituted by one or two substituents selected from aryl, optionally substituted amino, alkoxy and aryloxy,

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, independently of each other, represent hydrogen, lower alkyl, halo-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, heterocycl, heterocycl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl,

hydroxy, lower alkoxy, halo-lower alkoxy, cycloalkoxy, cycloalkyl-lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, heterocyclyloxy, heterocyclyl-lower alkoxy, optionally substituted phenyloxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy,

5 amino, carbamoyl, sulfamoyl, amino-lower alkyl or amino-lower alkylamino, wherein in each case the nitrogen atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl and lower

10 alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclylcarbonyl, carboxy, lower alkoxy carbonyl, hydroxy-lower alkoxy carbonyl, lower alkoxy-lower

15 alkoxy carbonyl, optionally substituted phenyl-lower alkoxy carbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, or nitro,

20 or R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, or R<sup>5</sup> and R<sup>6</sup> together with the atoms of the phenyl ring form a 5 or 6 membered carbocyclic or heterocyclic ring;

and salts thereof.

25 2. A compound of formula (I) according to claim 1 wherein

R represents aryl or heteroaryl optionally substituted by up to four substituents independently selected from

alkyl, cycloalkyl, cycloalkyl-lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, acyloxy-lower alkyl, heterocyclyl, heterocyclyl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, lower alkoxy, optionally substituted alkenyloxy, optionally substituted alkinyloxy,

30 cycloalkoxy, halo-lower alkoxy, cycloalkyl-lower alkoxy, hydroxy-lower alkoxy, lower

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- alkoxy-lower alkoxy, heterocyclyloxy, heterocyclyl-lower alkoxy, optionally substituted phenyloxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy, sulfamoyloxy, carbamoyloxy, lower alkylcarbonyloxy,
- 5 amino, monoalkylamino, dialkylamino, aminocarbonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylcarbonylamino wherein heterocyclyl is bound *via* a nitrogen atom, aminosulfonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylsulfonylamino wherein heterocyclyl
- 10 is bound *via* a nitrogen atom, lower alkoxycarbonylamino, lower alkylcarbonylamino wherein alkyl is optionally substituted by one or two substituents selected from optionally substituted phenyl, guanidyl, halogen, cyano, alkoxy, optionally substituted phenoxy, alkylmercapto and optionally substituted amino; lower alkenylcarbonylamino wherein alkenyl is optionally substituted by one or two substituents selected from lower alkyl, halo-
- 15 lower alkyl, optionally substituted phenyl, halogen, cyano, alkoxy and optionally substituted amino; amino-lower alkyl or amino-lower alkylamino, wherein the nitrogen atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted
- 20 heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclylcarbonyl,
- carboxy, lower alkoxycarbonyl, hydroxy-lower alkoxycarbonyl, lower alkoxy-lower
- 25 alkoxycarbonyl, optionally substituted phenyl-lower alkoxycarbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, and nitro; and wherein two adjacent substituents together with the atoms of aryl or heteroaryl may
- 30 form a 5 or 6 membered carbocyclic or heterocyclic ring;

X represents oxygen; or a group C=Y, wherein Y stands for oxygen, nitrogen substituted by hydroxy or alkoxy;

R<sup>1</sup> and R<sup>2</sup>, independently of each other, represent hydrogen, alkyl, cycloalkyl, cycloalkyl-alkyl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, hydroxyalkyl, alkoxyalkyl, hydroxyalkoxyalkyl, alkoxyalkoxyalkyl, cyanoalkyl, optionally substituted alkenyl, optionally substituted alkynyl, or lower alkylcarbonyl wherein lower alkyl is  
5 optionally substituted by one or two substituents selected from aryl, optionally substituted amino, alkoxy and aryloxy,

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, independently of each other, represent hydrogen, lower alkyl, halo-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl,  
10 lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, heterocyclyl, heterocyclyl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, lower alkoxy, halo-lower alkoxy, cycloalkoxy, cycloalkyl-lower alkoxy, hydroxy-  
15 lower alkoxy, lower alkoxy-lower alkoxy, heterocycloxy, heterocyclyl-lower alkoxy, optionally substituted phenoxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy, amino, carbamoyl, sulfamoyl, amino-lower alkyl or amino-lower alkylamino, wherein in each case the nitrogen atom is unsubstituted or substituted by one or two substituents  
20 selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl,  
25 lower alkylcarbonyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclylcarbonyl, carboxy, lower alkoxy carbonyl, hydroxy-lower alkoxy carbonyl, lower alkoxy-lower alkoxy carbonyl, optionally substituted phenyl-lower alkoxy carbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower  
30 alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, or nitro,

or R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, or R<sup>5</sup> and R<sup>6</sup> together with the atoms of the phenyl ring form a 5 or 6 membered carbocyclic or heterocyclic ring;

and salts thereof.

3. A compound of formula (I) according to claim 2, wherein

- 5 R represents phenyl, naphthyl, thienyl, furyl, thiazolyl, oxadiazolyl, thiadiazolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, benzothienyl, benzofuryl, indolyl, benzoisoxazolyl, optionally substituted by up to four substituents independently selected from alkyl, cycloalkyl, cycloalkyl-lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, acyloxy-lower alkyl, heterocyclyl, heterocyclyl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, lower alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, cycloalkoxy, halo-lower alkoxy, cycloalkyl-lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, heterocycliloxy, heterocyclyl-lower alkoxy, optionally substituted phenyloxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy, sulfamoyloxy, carbamoyloxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, aminocarbonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylcarbonylamino wherein heterocyclyl is bound *via* a nitrogen atom, aminosulfonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylsulfonylamino wherein heterocyclyl is bound *via* a nitrogen atom, lower alkoxycarbonylamino, lower alkylcarbonylamino wherein alkyl is optionally substituted by one or two substituents selected from optionally substituted phenyl, guanidyl, halogen, cyano, alkoxy, optionally substituted phenoxy, alkylmercapto and optionally substituted amino; lower alkenylcarbonylamino wherein alkenyl is optionally substituted by one or two substituents selected from lower alkyl, halo-lower alkyl, optionally substituted phenyl, halogen, cyano, alkoxy and optionally substituted amino; amino-lower alkyl or amino-lower alkylamino, wherein the nitrogen atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl,

- lower alkylcarbonyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclylcarbonyl, carboxy, lower alkoxy carbonyl, hydroxy-lower alkoxy carbonyl, lower alkoxy-lower alkoxy carbonyl, optionally substituted phenyl-lower alkoxy carbonyl, cyano,
- 5 lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, and nitro; and wherein two adjacent substituents together with the atoms of aryl or heteroaryl may form a 5 or 6 membered carbocyclic or heterocyclic ring;
- 10 X represents oxygen; or a group C=Y, wherein Y stands for oxygen or nitrogen substituted by hydroxy or alkoxy;
- R<sup>1</sup> and R<sup>2</sup>, independently of each other, represent hydrogen, lower alkylcarbonyl or
- 15 optionally substituted phenylcarbonyl;
- R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, independently of each other, represent hydrogen, lower alkyl, halo-lower alkyl, alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, amino, carbamoyl, sulfamoyl, amino-lower alkyl or amino-lower alkylamino, wherein in each case the nitrogen
- 20 atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylaminocarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl,
- 25 carboxy, lower alkoxy carbonyl, hydroxy-lower alkoxy carbonyl, lower alkoxy-lower alkoxy carbonyl, optionally substituted phenyl-lower alkoxy carbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, or nitro,
- 30 or R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, or R<sup>5</sup> and R<sup>6</sup> together represent methylenedioxy;
- and salts thereof.
- 35 4. A compound of formula (I) according to claim 2, wherein

R represents phenyl, naphthyl, thienyl, furyl, thiazolyl, oxadiazolyl, thiadiazolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, benzothienyl, benzofuryl, indolyl, benzoisoxazolyl, optionally substituted by up to four substituents independently selected from

5 alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, acyloxy-lower alkyl, heterocyclyl, heterocyclyl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl,

10 hydroxy, lower alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, cycloalkoxy, halo-lower alkoxy, cycloalkyl-lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, heterocyclyloxy, heterocyclyl-lower alkoxy, optionally substituted phenyloxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy, sulfamoyloxy, carbamoyloxy, lower

15 alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, aminocarbonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylcarbonylamino wherein heterocyclyl is bound *via* a nitrogen atom, aminosulfonylamino wherein each of the two amino groups is optionally substituted by

20 alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylsulfonylamino wherein heterocyclyl is bound *via* a nitrogen atom, lower alkoxy carbonylamino, lower alkylcarbonylamino wherein alkyl is optionally substituted by one or two substituents selected from optionally substituted phenyl, guanidyl, halogen, cyano, alkoxy, optionally substituted phenoxy, alkylmercapto and optionally substituted amino; lower alkenylcarbonylamino wherein

25 alkenyl is optionally substituted by one or two substituents selected from lower alkyl, halo-lower alkyl, optionally substituted phenyl, halogen, cyano, alkoxy and optionally substituted amino; amino-lower alkyl or amino-lower alkylamino, wherein the nitrogen atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally

30 substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclylcarbonyl,



carboxy, lower alkoxy-carbonyl, hydroxy-lower alkoxy-carbonyl, lower alkoxy-lower alkoxy-carbonyl, optionally substituted phenyl-lower alkoxy-carbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower  
5 alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, and nitro; and wherein two adjacent substituents together with the atoms of aryl or heteroaryl may form a 5 or 6 membered carbocyclic or heterocyclic ring;

X represents a group C=Y, wherein Y stands for oxygen or nitrogen substituted by  
10 hydroxy or alkoxy;

R<sup>1</sup> and R<sup>2</sup>, independently of each other, represent hydrogen or lower alkylcarbonyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, independently of each other, represent hydrogen, lower alkyl, halo-  
15 lower alkyl, hydroxy, lower alkoxy, carboxy, lower alkoxy-carbonyl, cyano, halogen or nitro;

and salts thereof.

5. A compound according to claim 2 selected from the group consisting of  
20 4-(1-Phenacyl-1H-benzimidazol-2-yl)-furazan-3-ylamine;  
4-(1-Phenacyl-1H-benzimidazol-2-yl)-furazan-3-ylamine oxime;  
4-(1-Phenacyl-1H-benzimidazol-2-yl)-furazan-3-ylamine oxime methyl ether;  
4-[1-(4-Bromophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;  
4-[1-(4-Bromophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime;  
25 4-[1-(4-Bromophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime methyl ether;  
4-[1-(4-Chlorophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;  
4-[1-(4-Chlorophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime;  
4-[1-(4-Chlorophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime methyl ether;  
4-[1-(4-Methoxyphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;  
30 4-[1-(4-Methoxyphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime;  
4-[1-(3-Methoxyphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;  
4-[1-(3-Methoxyphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime;  
4-[1-(3-Methoxyphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime methyl ether;  
4-[1-(4-Phenylphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;  
35 4-[1-(4-Phenylphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime;

4-[1-(4-Phenylphenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine oxime methyl ether;  
and 4-[1-(2,4-Dichlorophenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;  
and pharmaceutically acceptable salts thereof.

- 5 6. A compound of formula (I) according to claim 1, wherein

R represents phenyl, naphthyl, thienyl, furyl, thiazolyl, oxadiazolyl, thiadiazolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, benzothienyl, benzofuryl, indolyl, benzoisoxazolyl, optionally substituted by up to four substituents independently selected from

10 alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, halo-lower alkoxy-lower alkyl, acyloxy-lower alkyl, heterocyclyl, heterocyclyl-lower alkyl, optionally substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted heteroaryl, optionally substituted heteroaryl-lower alkyl, optionally substituted alkenyl, optionally substituted alkynyl,

15 hydroxy, lower alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, cycloalkoxy, halo-lower alkoxy, cycloalkyl-lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, heterocyclyloxy, heterocyclyl-lower alkoxy, optionally substituted phenyloxy, optionally substituted phenyl-lower alkoxy, optionally substituted heteroaryloxy, optionally substituted heteroaryl-lower alkoxy, sulfamoyloxy, carbamoyloxy, lower

20 alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, aminocarbonylamino wherein each of the two amino groups is optionally substituted by alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylcarbonylamino wherein heterocyclyl is bound *via* a nitrogen atom, aminosulfonylamino wherein each of the two amino groups is optionally substituted by

25 alkyl, alkenyl, alkynyl or alkoxy-lower alkyl, heterocyclylsulfonylamino wherein heterocyclyl is bound *via* a nitrogen atom, lower alkoxycarbonylamino, lower alkylcarbonylamino wherein alkyl is optionally substituted by one or two substituents selected from optionally substituted phenyl, guanidyl, halogen, cyano, alkoxy, optionally substituted phenoxy, alkylmercapto and optionally substituted amino; lower alkenylcarbonylamino wherein

30 alkenyl is optionally substituted by one or two substituents selected from lower alkyl, halo-lower alkyl, optionally substituted phenyl, halogen, cyano, alkoxy and optionally substituted amino; amino-lower alkyl or amino-lower alkylamino, wherein the nitrogen atom is unsubstituted or substituted by one or two substituents selected from lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, optionally

35 substituted phenyl, optionally substituted phenyl-lower alkyl, optionally substituted

heteroaryl, optionally substituted heteroaryl-lower alkyl and lower alkylcarbonyl, or wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl, cycloalkylcarbonyl, optionally substituted phenylcarbonyl, optionally substituted heteroarylcarbonyl, heterocyclcarbonyl,

- 5 carboxy, lower alkoxy carbonyl, hydroxy-lower alkoxy carbonyl, lower alkoxy-lower alkoxy carbonyl, optionally substituted phenyl-lower alkoxy carbonyl, cyano, lower alkylmercapto, optionally substituted phenylmercapto, lower alkylsulfinyl, halo-lower alkylsulfinyl, optionally substituted phenylsulfinyl, lower alkylsulfonyl, halo-lower alkylsulfonyl, optionally substituted phenylsulfonyl, aralkylsulfonyl, halogen, and nitro;
- 10 and wherein two adjacent substituents together with the atoms of aryl or heteroaryl may form a 5 or 6 membered carbocyclic or heterocyclic ring;

X represents a group C=Y, wherein Y stands for oxygen or nitrogen substituted by hydroxy or alkoxy;

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R<sup>1</sup> represents cyanoalkyl;

R<sup>2</sup> represents hydrogen;

- 20 R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, independently of each other, represent hydrogen, lower alkyl, halo-lower alkyl, hydroxy, lower alkoxy, carboxy, lower alkoxy carbonyl, cyano, halogen or nitro;
- and salts thereof.

- 25 7. A compound of formula (I) according to claim 1 wherein R, X and R<sup>2</sup> to R<sup>6</sup> are as defined for claim 6 and R<sup>1</sup> represents hydroxyalkyl, and salts thereof.

- 30 8. A compound of formula (I) according to claim 1 wherein

R represents phenyl, thienyl, pyridinyl or pyridazinyl, optionally substituted by one or two substituents independently selected from

alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl,

- 35 phenyl,

- hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two substituents on nitrogen form together with the nitrogen heterocycl, lower alkylcarbonyl, formyl, carboxy, lower alkoxycarbonyl, cyano, halogen, and nitro; and wherein two adjacent substituents are methylenedioxy;
- X represents oxygen; a group C=Y, wherein Y stands for oxygen or nitrogen substituted by hydroxy or alkoxy; or a group -CO-CH=CH- wherein the C=C bond is connected to R;
- R<sup>1</sup> represents hydrogen, lower alkylcarbonyl, hydroxy-lower alkyl or cyano-lower alkyl;
- R<sup>2</sup>, R<sup>3</sup> and R<sup>6</sup> represent hydrogen;
- R<sup>4</sup> and R<sup>5</sup>, independently of each other, represent hydrogen, lower alkyl or lower alkoxy; or R<sup>4</sup> and R<sup>5</sup> together represent methylenedioxy;
- and salts thereof.
9. A compound of formula (I) according to claim 8 wherein
- R represents phenyl, thienyl, pyridinyl or pyridazinyl, wherein phenyl is optionally substituted by one or two substituents independently selected from alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two substituents on nitrogen form together with the nitrogen heterocycl, lower alkylcarbonyl, formyl, carboxy, lower alkoxycarbonyl, cyano, halogen, and nitro; and wherein two adjacent substituents are methylenedioxy; and wherein pyridinyl or pyridazinyl are optionally substituted by lower alkoxy, amino or halogen;

X represents a group C=Y, wherein Y stands for oxygen or nitrogen substituted by hydroxy or lower alkoxy;

5 R<sup>1</sup> represents hydrogen, lower alkylcarbonyl, hydroxy-lower alkyl or cyano-lower alkyl;

R<sup>2</sup>, R<sup>3</sup> and R<sup>6</sup> represent hydrogen;

R<sup>4</sup> and R<sup>5</sup>, independently of each other, represent hydrogen, lower alkyl or lower alkoxy; or R<sup>4</sup> and R<sup>5</sup> together represent methylenedioxy;

10 and pharmaceutically acceptable salts thereof.

10. A compound of formula (I) according to claim 8 wherein

R represents phenyl, thienyl or pyridinyl

15 wherein phenyl is optionally substituted by one or two substituents independently selected from alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two  
20 substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl, carboxy, lower alkoxycarbonyl, cyano, halogen, and nitro; and wherein two adjacent substituents are methylenedioxy;

and wherein pyridinyl is optionally substituted by lower alkoxy, amino or halogen;

25 X represents a group C=Y, wherein Y stands for oxygen or nitrogen substituted by hydroxy or lower alkoxy;

R<sup>1</sup> represents hydrogen, lower alkylcarbonyl, hydroxy-lower alkyl or cyano-lower alkyl; R<sup>2</sup>, R<sup>3</sup> and R<sup>6</sup> represent hydrogen;

30 R<sup>4</sup> and R<sup>5</sup>, independently of each other, represent hydrogen, lower alkyl or lower alkoxy; or R<sup>4</sup> and R<sup>5</sup> together represent methylenedioxy;

and pharmaceutically acceptable salts thereof.

35 11. A compound of formula (I) according to claim 8 wherein

R represents phenyl, thienyl, pyridinyl or pyridazinyl,  
wherein phenyl is optionally substituted by one or two substituents independently selected  
from alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower  
5 alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy,  
phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower  
alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two  
substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl,  
formyl, carboxy, lower alkoxycarbonyl, cyano, halogen, and nitro; and wherein two  
10 adjacent substituents are methylenedioxy;  
and wherein pyridinyl or pyridazinyl are optionally substituted by lower alkoxy, amino or  
halogen;

X represents a group C=Y, wherein Y stands for oxygen or nitrogen substituted by  
15 hydroxy or lower alkoxy;

R<sup>1</sup> represents cyano-lower alkyl;  
R<sup>2</sup>, R<sup>3</sup> and R<sup>8</sup> represent hydrogen;  
R<sup>4</sup> and R<sup>5</sup>, independently of each other, represent hydrogen, lower alkyl or lower alkoxy;  
20 or R<sup>4</sup> and R<sup>5</sup> together represent methylenedioxy;

and pharmaceutically acceptable salts thereof.

12. A compound of formula (I) according to claim 8 wherein  
25

R represents phenyl or pyridinyl  
wherein phenyl is optionally substituted by one or two substituents independently selected  
from alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower  
alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy,  
30 phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower  
alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two  
substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl,  
carboxy, lower alkoxycarbonyl, formyl, cyano, halogen, and nitro; and wherein two  
adjacent substituents are methylenedioxy;  
35 and wherein pyridinyl is optionally substituted by lower alkoxy, amino or halogen;

X represents oxygen;

R<sup>1</sup> represents hydrogen, lower alkylcarbonyl, hydroxy-lower alkyl or cyano-lower alkyl;

5 R<sup>2</sup>, R<sup>3</sup> and R<sup>6</sup> represent hydrogen;

R<sup>4</sup> and R<sup>5</sup>, independently of each other, represent hydrogen, lower alkyl or lower alkoxy;  
or R<sup>4</sup> and R<sup>5</sup> together represent methylenedioxy;

and pharmaceutically acceptable salts thereof.

10

13. A compound of formula (I) according to claim 8 wherein

R and R<sup>1</sup> to R<sup>6</sup> are defined as in claim 12 and X represents nitrogen substituted by alkoxy;  
and pharmaceutically acceptable salts thereof.

15 14. A compound of formula (I) according to claim 8 wherein

R represents phenyl or pyridinyl

wherein phenyl is optionally substituted by one or two substituents independently selected  
from alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower  
alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy,  
20 phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower  
alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two  
substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl,  
carboxy, lower alkoxycarbonyl, formyl, cyano, halogen, and nitro; and wherein two  
adjacent substituents are methylenedioxy;

25 and wherein pyridinyl is optionally substituted by lower alkoxy, amino or halogen;

X represents oxygen;

R<sup>1</sup> represents cyano-lower alkyl;

R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> represent hydrogen;

and pharmaceutically acceptable salts thereof.

30

15. A compound of formula (I) according to claim 8 wherein

R represents phenyl or pyridinyl

wherein phenyl is optionally substituted by one or two substituents independently selected  
from alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, hydroxy, lower alkoxy, hydroxy-

35 lower alkoxy, lower alkoxy-lower alkoxy, amino, monoalkylamino, dialkylamino, lower

- alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, halogen, and nitro; and wherein two adjacent substituents are methylenedioxy; and wherein pyridinyl is optionally substituted by lower alkoxy, amino or halogen;
- 5 X represents oxygen;  
R<sup>1</sup> represents cyano-lower alkyl;  
R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> represent hydrogen;  
and pharmaceutically acceptable salts thereof.
- 10 16. A compound of formula (I) according to claim 8 wherein  
R represents phenyl or pyridinyl  
wherein phenyl is optionally substituted by one or two substituents independently selected from alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, amino, monoalkylamino, dialkylamino, lower
- 15 alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, halogen, and nitro; and wherein two adjacent substituents are methylenedioxy; and wherein pyridinyl is optionally substituted by lower alkoxy, amino or halogen;  
X represents nitrogen substituted by alkoxy;
- 20 R<sup>1</sup> represents cyano-lower alkyl;  
R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> represent hydrogen;  
and pharmaceutically acceptable salts thereof.
- 25 17. A compound of formula (I) according to claim 8 wherein  
R represents phenyl optionally substituted by one or two substituents independently selected from alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, phenyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, phenyl-lower alkoxy, lower alkylcarbonyloxy, amino, monoalkylamino, dialkylamino, lower alkoxycarbonylamino, lower alkylcarbonylamino, substituted amino
- 30 wherein the two substituents on nitrogen form together with the nitrogen heterocyclyl, lower alkylcarbonyl, carboxy, lower alkoxycarbonyl, cyano, halogen, and nitro; and wherein two adjacent substituents are methylenedioxy;  
X represents a group -CO-CH=CH- wherein the C=C bond is connected to R;  
R<sup>1</sup> represents cyano-lower alkyl;
- 35 R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> represent hydrogen;



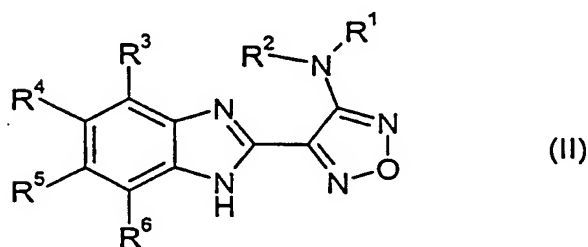
and pharmaceutically acceptable salts thereof.

18. A compound according to claim 8 selected from the group consisting of
- 4-[1-(4-Chlorophenacyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine;
  - 5 4-[1-(3-Methoxy-4-methoxymethoxy-phenacyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;
  - 4-[1-(4-Bromophenacyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine;
  - 4-[1-(4-Aminophenacyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine;
  - 4-[1-(4-Methoxyphenacyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine;
  - 4-[1-(3,4-Dimethylphenacyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine;
  - 10 4-[1-(4-Ethylphenacyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine;
  - 4-[1-(6-Chloro-3-pyridyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;
  - 4-[1-(6-Amino-3-pyridyl)-1H-benzimidazol-2-yl]-furazan-3-yl-N-(2-cyanoethyl)-amine; and
  - 4-[1-(6-Amino-3-pyridyl)-1H-benzimidazol-2-yl]-furazan-3-ylamine;
- and pharmaceutically acceptable salts thereof.

15

19. A method for the preparation of a compound of formula (I) according to claim 1, wherein

A) a compound of formula (II)



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wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$  are defined as for formula (I), or a derivative thereof with functional groups in protected form and/or a salt thereof, is alkylated with an alkylating agent of formula (III)

25  $R-X-CH_2-Z$  (III)

wherein R is as defined for formula (I), X is CO or  $-CO-CH=CH-$  and Z is a nucleophilic leaving group;

or

30

B) a compound of formula (II), wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$  are defined as for formula (I), or a derivative thereof with functional groups in protected form and/or a salt thereof, is alkylated with a mixture of a dihalomethane type compound of formula  $Z^1-CH_2-Z^2$  (IV), wherein  $Z^1$  and  $Z^2$  are leaving groups, and a compound of formula  $R-XH$  (V), wherein R is as defined for formula (I) and X is oxygen;

5

any protecting groups in a protected derivative of a compound of the formula (I) are removed;

and, if so desired, an obtainable compound of formula (I) is converted into another compound of formula (I), a free compound of formula (I) is converted into a salt, an obtainable salt of a compound of formula (I) is converted into the free compound or another salt, and/or a mixture of isomeric compounds of formula (I) is separated into the individual isomers.

10

20. A pharmaceutical composition comprising a compound of formula (I) according to claim 1 and a pharmaceutically acceptable carrier.

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21. Use of a compound of formula (I) according to claim 1, a prodrug or a pharmaceutically acceptable salt of such a compound for the preparation of a pharmaceutical composition for the treatment of a neoplastic disease, autoimmune disease, transplantation related pathology and/or degenerative disease.

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22. The use according to claim 21 of a compound of formula (I) according to claim 1, or a pharmaceutically acceptable salt of such a compound for the preparation of a pharmaceutical composition for the treatment of a solid neoplastic disease.

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